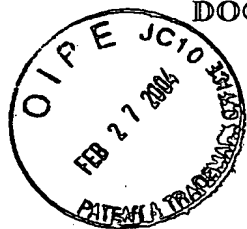


DOCKET NO.: 3DP-0542

PATENT



IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

**In Re Application of:**

**Tianboa, Lu, et al.**

**Application No.: 10/714,988**

**Filing Date: November 17, 2003**

**Confirmation No.:**

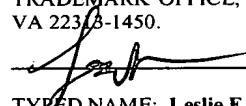
**Group Art Unit: Not Yet Assigned**

**Examiner: Not Yet Assigned**

**For: HETEROARYL AMINOGUANIDINES AND ALKOXYGUANIDINES AND  
THEIR USE AS PROTEASE INHIBITORS**

DATE OF DEPOSIT: **Feb 25, 2004**

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TYPED NAME: **Leslie E. Aberman**  
REGISTRATION NO.: **54,836**

Commissioner for Patents  
P.O. Box 1450  
Alexandria, VA 22313-1450

Dear Sir:

**INFORMATION DISCLOSURE STATEMENT**

Pursuant to 37 CFR § 1.56 and in accordance with 37 CFR §§ 1.97-1.98, information relating to the above-identified application is hereby disclosed. Inclusion of information in this statement is not to be construed as an admission that this information is material as that term is defined in 37 CFR § 1.56(b).

- ☒ In accordance with § 1.97(b), since this Information Disclosure Statement is being filed either within three months of the filing date of the above-identified application, within three months of the date of entry into the national stage of

the above identified application as set forth in § 1.491, before the mailing date of a first Office Action on the merits of the above-identified application, or before the mailing date of a first Office Action after the filing of request for continued examination under § 1.114, no additional fee is required.

☐ In accordance with § 1.129(a), this Information Disclosure Statement is being filed in connection with ☐ the first or ☐ second After Final Submission, therefore:

☐ Certification in Accordance with § 1.97(e) is attached; or

☐ The fee of **\$180.00** as set forth in § 1.17(p) is attached.

☐ In accordance with § 1.97(c), this Information Disclosure Statement is being filed after the period set forth in § 1.97(b) above but before the mailing date of either a Final Action under § 1.113 or a Notice of Allowance under § 1.311, or before an action that otherwise closes prosecution in the application, therefore:

☐ Certification in Accordance with § 1.97(e) is attached;

or

☐ The fee of **\$180.00** as set forth in § 1.17(p) is attached.

☐ In accordance with § 1.97(d), this Information Disclosure Statement is being filed after the mailing date of either a Final Action under § 1.113 or a Notice of Allowance under § 1.311 but before, or simultaneously with, the payment of the Issue Fee, therefore included are: Certification in Accordance with § 1.97(e); and the submission fee of **\$180.00** as set forth in § 1.17(p).

- ☐ Copies of each of the references listed on the attached Form PTO-1449 are enclosed herewith.
- ☐ Copies of references listed on the attached Form PTO-1449 are enclosed herewith
- ☐ Copies of references listed on the attached Form PTO 1449 are not required to be submitted pursuant to the June 30, 2003 recent revisions to 37 CFR § 1.98(a)(2)(i).


## EXCEPT THAT:

- ☐ In view of the voluminous nature of references [list as appropriate], and the likelihood that these references are available to the Examiner, copies are not enclosed herewith.
- ☒ In accordance with § 1.98(d), copies of the following references listed on the attached Form PTO-1449 are not enclosed herewith because they were previously cited by or submitted to the U.S. Patent and Trademark Office in patent application(s) for which a claim for priority under 35 U.S.C. § 120 have been made in the instant application:
  - ☒ Copies of references 1 thru 44 listed on the attached Form PTO-1449 were previously cited by or submitted to the Patent and Trademark Office in prior Application No. 10/400,073, filed .

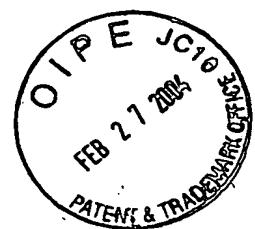
Please charge any deficiency or credit any overpayment to Deposit Account No. 23-3050. This form is submitted in duplicate.

☒ There are no listed references which are not in the English language.

Date: Feb 5, 2004

  
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Leslie E. Aberman  
Registration No. 54,836

WOODCOCK WASHBURN LLP  
One Liberty Place - 46th Floor  
Philadelphia, PA 19103  
Telephone: (215) 568-3100  
Facsimile: (215) 568-3439



<b>Form PTO-1449 Modified</b>  List of Patent and Publications Cited by Applicant (Use several sheets if necessary)  U.S. Department of Commerce Patent and Trademark Office	Docket No. <b>3DP-0542</b>		Application No. <b>10/714,988</b>	
	Applicant <b>Tianboa, Lu, et al.</b>			
	Filing Date <b>November 17, 2003</b>		Group <b>Not Yet Assigned</b>	
<b>OTHER DOCUMENTS (Including Author, Title, Date, Pertinent Pages, Etc.)</b>				
	<b>1</b>	Barrett, A.J., "Proteinase Inhibitors: Potential Drugs?", <i>Enzyme Inhibitors as Drugs</i> , 1980, Sandler, M., ed., The Macmillan Press Ltd., London, England, 219-229		
	<b>2</b>	Brown, F.J. et al., "Design of Orally Active, Non Peptidic Inhibitors of Human Leukocyte Elastase", <i>J. Med. Chem.</i> , 1994, American Chemical Society, 37, 1259-1261		
	<b>3</b>	Claeson, G., "Synthetic Peptides and Peptidomimetics as Substrates and Inhibitors of Thrombin and Other Proteases in the Blood Coagulation System", <i>Blood Coagulation and Fibrinolysis</i> , 1994, 5, 411-436, Rapid Communications		
	<b>4</b>	Coughlin, S.R., "Molecular Mechanisms of Thrombin Signaling", <i>Seminars in Hematology</i> , 1994, 31, 270-277, W.B. Saunders Company		
	<b>5</b>	Cuypers, H.T. et al., "Sulfhydryl Content of Bovine Eye Lens Leucine Aminopeptidase. Determination of the Reactivity of the Sulfhydryl Groups of the Zinc Metalloenzyme, of the Enzyme Activated by Mg <sup>2+</sup> , Mn <sup>2+</sup> , and Co <sup>2+</sup> , and of the Metal-Free Apoenzyme", <i>J. Biol. Chem.</i> , 1982, 257, 7086-7091, American Society of Biological Chemists, Inc.,		
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	<b>7</b>	Edwards, P.D. et al., "Design, Synthesis, and Kinetic Evaluation of a Unique Class of Elastase Inhibitors, the Peptidyl, $\alpha$ -Ketobenzoxazoles, and the X-ray Crystal Structure of the Covalent Complex between Porcine Pancreatic Elastase and Ac-Ala-Pro-Val-2-Benzoxazole", <i>J. Am. Chem. Soc.</i> , 1992, 114, 1854-1863, American Chemical Society		
	<b>8</b>	Harker, L.A., "Strategies for Inhibiting the Effects of Thrombin", <i>Blood Coagulation and Fibrinolysis</i> , 1994, 5, S47-S58		
	<b>9</b>	Jeong, J.H. et al., "Cyclic Guanidino-Sugars with Low pKa as Transition-State Analog Inhibitors of Glycosidases: Neutral Instead of Charged Species are the Active Forms", <i>J. Am. Chem. Soc.</i> , 1996, 118, 4227-4234, American Chemical Society		
	<b>10</b>	Kim, K.S. et al., "Preparation of Argatroban Analog Thrombin Inhibitors with Reduced Basic Guanidine Moiety, and Studies of their Cell Permeability and Antithrombotic Activities", <i>Med. Chem Res.</i> , 1996, 6, 377-383		
<b>EXAMINER</b>		<b>DATE CONSIDERED</b>		

<b>Form PTO-1449 Modified</b>		Docket No. <b>3DP-0542</b>	Application No. <b>10/714,988</b>
List of Patent and Publications Cited by Applicant (Use several sheets if necessary)		Applicant <b>Tianboa, Lu, et al.</b>	
U.S. Department of Commerce Patent and Trademark Office		Filing Date <b>November 17, 2003</b>	Group <b>Not Yet Assigned</b>
<b>OTHER DOCUMENTS (Including Author, Title, Date, Pertinent Pages, Etc.)</b>			
	<b>11</b>	Lefkovits, J. et al., "Direct hrombin Inhibitors in Cardiovascular Medicine", <i>Circulation</i> , <b>1994</b> , 90, 1522-1536, American Heart Association, Inc.,	
	<b>12</b>	Mack, H. et al., "Design, Synthesis and Biological Activity of Novel Rigid Amidino-Phenylalanine Derivatives as Inhibitors of Thrombin", <i>J. Enzyme Inhibition</i> , <b>1995</b> , 9, 73-86, Harwood Academic Publishers GmbH	
	<b>13</b>	Powers, W.J. et al., "Indium-111 Plateley Scintigraphy in Cerebrovascular Disease", <i>Neurology</i> , <b>1982</b> , 32, 938-943, American Academy of Neurology	
	<b>14</b>	Saulnier, M.G., et al., "An Efficient Method for the Synthesis of Guanidino Prodrugs", <i>Bioorg. Med. Chem. Lett.</i> , <b>1994</b> , 4, 1985-1990	
	<b>15</b>	Thakur, M.L. et al., "Indium-111 Labeled Platelets: Studies on Preparation and Evaluation of In Vitro and In Vivo Functions", <i>Thrombosis Res.</i> , <b>1976</b> , 9, 345-357, Pergamon Press, Inc.,	
	<b>16</b>	CAPLUS 127:234621, abstract of Lee, S.-l. et al., "Amidino and Guanidino Substituted Boronic Acid Inhibitors of Trypsin-Like Enzymes", <b>1997</b> , Accession No.: 594514	
	<b>17</b>	Eurasian Search Report for Application No. 200000578/28, for application filed <b>06/26/00</b>	
	<b>18</b>	WPINDEX, Accession No. 1993-218199, Derwent WPI English language abstract for SU 174261	
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	<b>20</b>	Caplus abstract Semple Edward et al, <i>Journal of Medicinal Chemistry</i> , <b>1996</b>	
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	21	4,418,052	11/29/83	Wong, D.W.	424	1.1
	22	4,980,148	12/25/90	Dean, R.T.	424	9
	23	5,011,686	04/30/91	Pang, R.H.L.	424	94.1
	24	5,024,829	06/18/91	Berger, H.J. et al.	424	1.1
	25	5,466,811	11/14/95	Alexander, J.	546	283
	26	5,656,600	08/12/97	ABelman, M.M. et al.	514	13
	27	5,656,645	08/12/97	Tamura, et al.	514	349
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	29	5,658,930	08/19/97	Tamura, et al.	514	318
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Examiner Initial		Document No.	Date	Country	Translation	
					YES	NO
	31	EP 0 363 284 A2	04/11/90	EPO		
	32	WO 95/07291	03/16/95	WIPO		
	33	WO 96/18644	06/20/96	WIPO		
	34	WO 97/01338	01/16/97	WIPO		
	35	WO 97/30708	08/28/97	WIPO		

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	37	6,235,778	05/22/01	Tomczuk, et al.	514	517
	38	6,236,492	12/04/01	Wang, et al.	544	63
	39	4,097,472	06/27/78	Okamoto, et al.	424	177

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Examiner Initial		Document No.	Date	Country	Translation	
					YES	NO
	40	WO 98/23565	06/04/98	WIPO		
	41	WO 01/04117	01/18/01	WIPO		
	42	SU 174261	02/08/66	Russia		
	43	SU 328634	12/05/78	Russia		
	44	SU 938739	10/11/82	Russia		

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